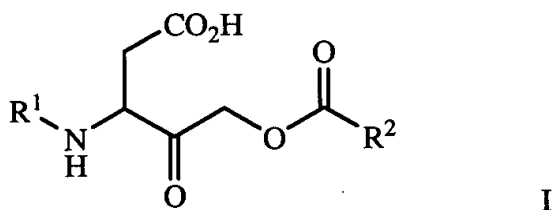


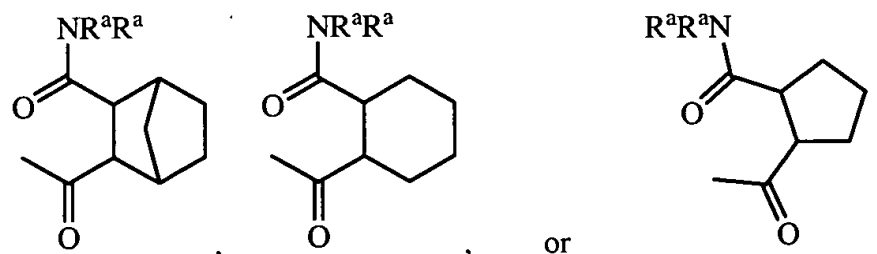
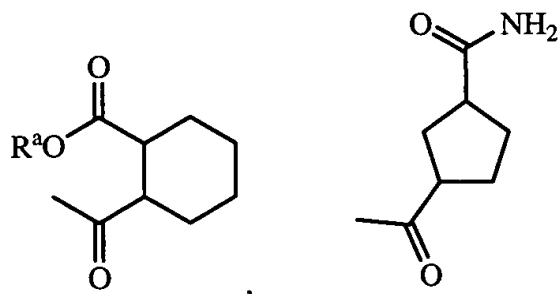
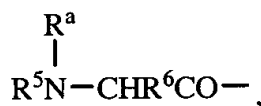
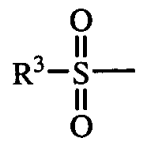
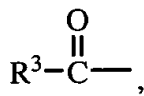
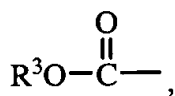
This listing of claims replaces all prior versions and listings of claims in the application. The amendments to pending claims 1, 50, and 52-55 that are requested herein are illustrated in this listing, and pending claim 61 is shown as cancelled, as discussed further below.

### Listing of Claims

1. (Currently Amended) A compound of the Formula I



wherein R<sup>1</sup> is



each  $R^a$  is independently hydrogen,  $C_1$ - $C_6$  alkyl, or  $-(CH_2)_n$  aryl;

$R^2$  is  $-(CRR)_n$ -aryl,

$-(CRR)_n$ -X-aryl,

$-(CRR)_n$ -(substituted-aryl),

$-(CRR)_n$ -X-(substituted-aryl),

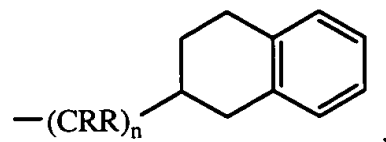
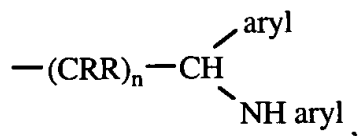
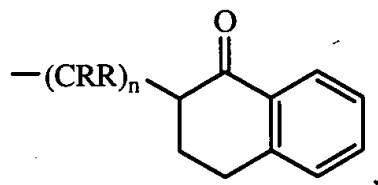
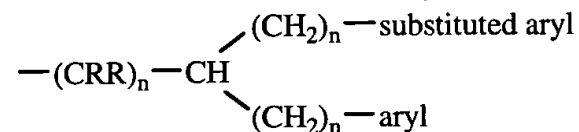
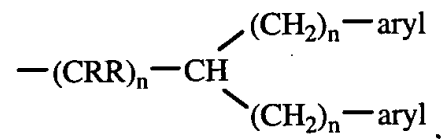
$-(CRR)_n$ -aryl-aryl,

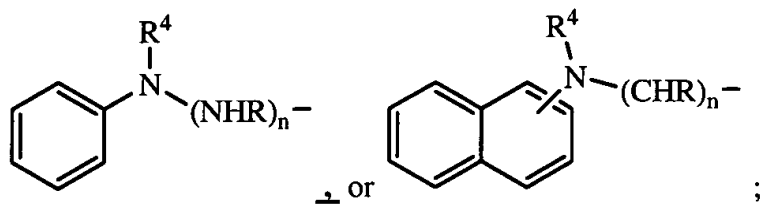
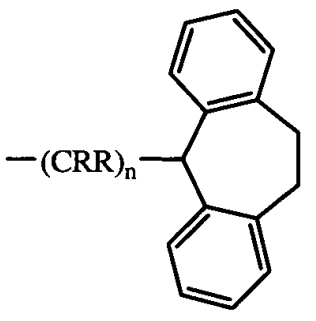
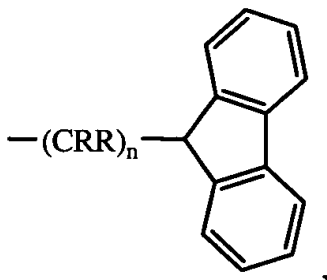
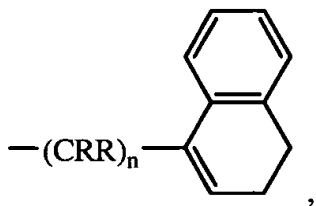
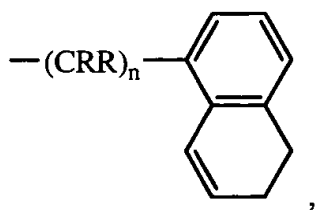
$-(CRR)_n$ -aryl- $(CH_2)_n$ -aryl,

$-(CRR)_n$ -CH(aryl)<sub>2</sub>,

$-(CRR)_n$ -cycloalkyl,

$-(CRR)_n$ -X-cycloalkyl,





each R is independently hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, halogen or hydroxy;

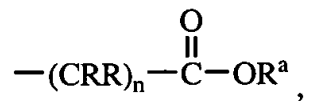
X is O or S;

R<sup>3</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl,

aryl,

—(CHR)<sub>n</sub>-aryl,

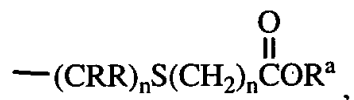
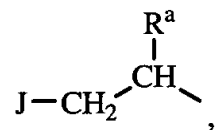
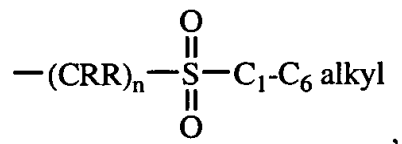
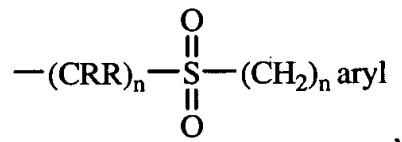
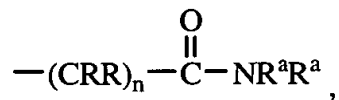
—(CHR)<sub>n</sub>-substituted aryl,

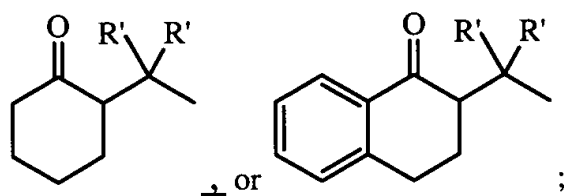
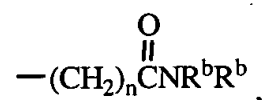
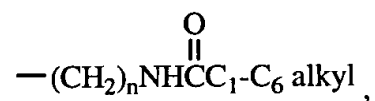
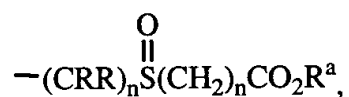
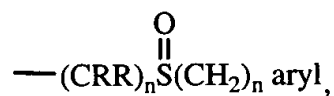
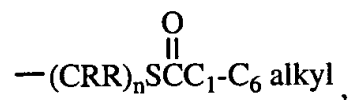
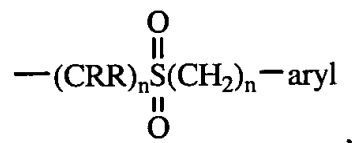
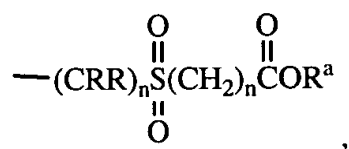


—(CRR)<sub>n</sub>O(CH<sub>2</sub>)<sub>n</sub>-aryl,

cycloalkyl,

substituted cycloalkyl,





each R' is independently C<sub>1</sub>-C<sub>6</sub> alkyl,  
 C<sub>1</sub>-C<sub>6</sub> alkylaryl,

aryl, or  
hydrogen;

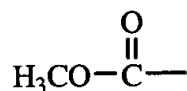
each J is independently

—CO<sub>2</sub>R<sup>b</sup>,  
—CONR<sup>b</sup>R<sup>b</sup>,  
—SO<sub>2</sub>NR<sup>b</sup>R<sup>b</sup>, or  
—SO<sub>2</sub>R<sup>b</sup>;

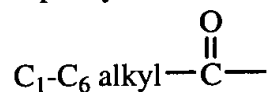
each R<sup>b</sup> is independently hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, aryl, substituted aryl, arylalkyl, or  
substituted arylalkyl;

R<sup>4</sup> is hydrogen,

C<sub>1</sub>-C<sub>6</sub> alkyl,

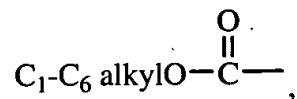


—phenyl, or

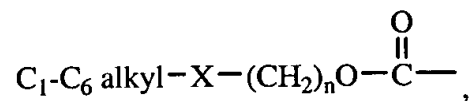


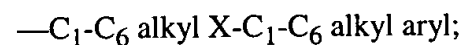
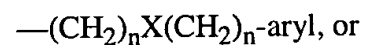
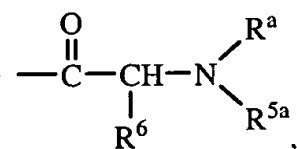
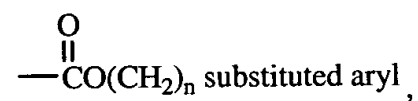
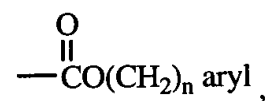
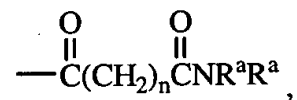
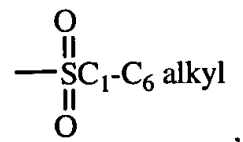
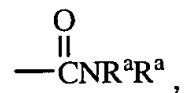
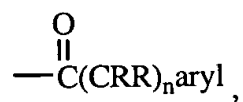
R<sup>5</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl-CO—,

—(CH<sub>2</sub>)<sub>n</sub>aryl,

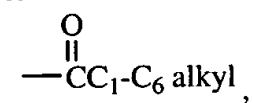


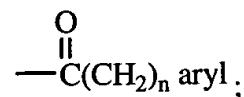
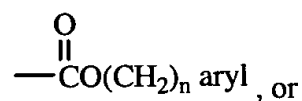
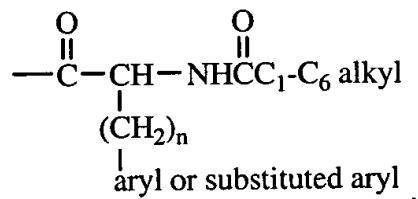
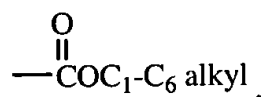
C<sub>1</sub>-C<sub>6</sub>-alkyl-X-(CH<sub>2</sub>)<sub>n</sub>CO,





R<sup>5a</sup> is





R<sup>6</sup> is hydrogen, C<sub>1</sub>–C<sub>6</sub> alkyl, —(CH<sub>2</sub>)<sub>n</sub> aryl, —(CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R<sup>a</sup>, or hydroxyl substituted C<sub>1</sub>–C<sub>6</sub> alkyl;

each n is independently 0 to 3, and the pharmaceutically acceptable salts thereof;

excluding the following compounds:

N-(3-Phenylpropionyl)-L-valine-L-alanine-L-aspartic acid 2,6-dihydroxy-benzoyloxy methyl ketone;

N-(3-Phenylpropionyl)-L-valine-L-alanine-L-aspartic acid 2,6-dimethyl-benzoyloxy methyl ketone;

N-Benzoyloxycarbonyl-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;

N-Benzoyloxycarbonyl-L-aspartic acid 2,6-ditrifluoromethyl benzoyloxymethyl ketone;

N-Benzoyloxycarbonyl-L-aspartic acid 2,6-dimethoxybenzoyloxy methyl ketone;

N-Benzoyloxycarbonyl-L-aspartic acid 2,6-dichloro-3-(benzyloxy)benzoyloxy-methyl ketone;

N-Benzoyloxycarbonyl-L-aspartic acid 2-acetamido-6-chlorobenzoyloxymethyl ketone;

N-Benzoyloxycarbonyl-L-aspartic acid 2,6-difluorobenzoyloxymethyl ketone;

N-Benzoyloxycarbonyl-L-aspartic acid 3-(N-butylsulfonamido)-2,6-dichlorobenzoyloxy methyl ketone;

N-Benzoyloxycarbonyl-L-aspartic acid 2,6-dichloro-3-sulfonamido benzoyloxy

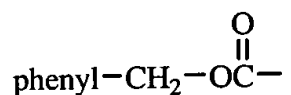


methyl ketone;  
N-Benzoyloxycarbonyl-L-aspartic acid 3-(N-benzylsulfonamido)-2,6-dichlorobenzoyloxy  
methyl ketone;  
N-Benzoyloxycarbonyl-L-aspartic acid 3-(N-(2-aminoacetamidoyl)-sulfonamido)-  
2,6-dichloro benzoyloxymethyl ketone;  
N-Methoxycarbonyl-L-alanine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-Methoxycarbonyl glycine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-Methoxycarbonyl-L-phenylalanine-L-aspartic acid 2,6-dichlorobenzoyloxy  
methyl ketone;  
N-Methoxycarbonyl-L-valine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-Benzoyloxycarbonyl-L-valine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-Benzoyloxycarbonyl-L-alanine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-Benzoyloxycarbonyl-L-valine-L-alanine-L-aspartic acid 2,6-dichlorobenzoyloxy  
methyl ketone;  
N-(3-Phenylpropionyl)-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-Methoxycarbonyl-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-(4-N,N-dimethylaminomethyl)benzoyl-L-aspartic acid 2,6-dichlorobenzoyloxy  
methyl ketone;  
N-Benzoyloxycarbonyl-D-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-Methoxy-glycine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-Methoxy-L-alanine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-Methoxy-L-valine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-Benzoyloxy-L-valine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-Benzoyloxy-D-alanine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-Benzoyloxy-L-alanine-L-alanine-L-aspartic acid 2,6-dichlorobenzoyloxy  
methyl ketone;  
N-Benzoyloxy-L-valine-L-alanine-L-aspartic acid 2,6-dichlorobenzoyloxy  
methyl ketone;  
N-Benzoyloxy-D-alanine-L-alanine-L-aspartic acid 2,6-dichlorobenzoyloxy  
methyl ketone;  
N-(N-phenylpropionyl-valinyl-alaninyl)-3-amino-4-oxo-5-(2,6-bis(trifluoro  
methylbenzoyloxy) pentanoic acid;  
N-(N-phenylpropionyl-valinyl-alaninyl)-3-amino-4-oxo-5-benzoyloxy pentanoic acid;  
3-Phenylpropionyl-L-valine-L-alanine-aspartic acid 2-phenylethylcarbonyloxy

methyl ketone;

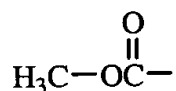
Adamantane-1-carboxylic acid 3-[2-(2-benzyloxycarbonylamino-3-methyl-butylamino)-propionylamino]-4-carboxy-2-oxo-butyl ester;  
3-[2-(2-Benzyloxycarbonylamino-3-methyl-butylamino)-propionylamino]-5-diphenylacetoxy-4-oxo-pentanoic acid;  
2,6-Dichloro-benzoic acid 3-(5-benzyloxycarbonylamino-naphthalene-1-sulfonylamino)-4-carboxy-2-oxo-butyl ester;  
2,6-Dichloro-benzoic acid 3-[2-(3-benzyloxycarbonylamino-phenyl)-propionylamino]-4-carboxy-2-oxo-butyl ester;  
2,6-Dichloro-benzoic acid 3-[2-(6-benzyloxycarbonyloxy-naphthalen-2-yl)-propionylamino]-4-carboxy-2-oxo-butyl ester;  
2,6-Dichloro-benzoic acid 3-(5-benzyloxycarbonylamino-naphthalene-1-sulfonylamino)-4-carboxy-2-oxo-butyl ester;  
2,6-Dichloro-benzoic acid 3-[(5-benzyloxycarbonylamino-naphthalene-1-carbonyl)-amino]-4-carboxy-2-oxo-butyl ester; and  
2,6-Dichloro-benzoic acid 3-[(4-benzyloxycarbonylamino-cyclohexanecarbonyl)-amino]-4-carboxy-2-oxo-butyl ester.

2. **(Original)** A compound according to Claim 1 wherein R<sup>1</sup> is



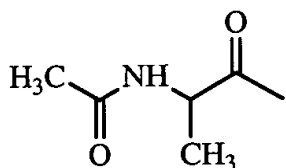
3. **(Original)** A compound according to Claim 1 wherein R<sup>1</sup> is phenyl-SO<sub>2</sub>-.

4. **(Original)** A compound according to Claim 1 wherein R<sup>1</sup> is

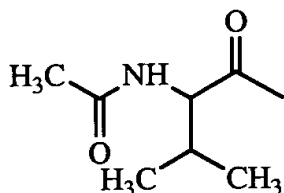


5. **(Original)** A compound according to Claim 1 wherein R<sup>1</sup> is phenyl-CH<sub>2</sub>CH<sub>2</sub>-CO-.

6. **(Original)** A compound according to Claim 1 wherein R<sup>1</sup> is



7. **(Original)** A compound according to Claim 1 wherein R<sup>1</sup> is



8. **(Original)** A compound according to Claim 1 wherein R<sup>1</sup> is phenyl-CH<sub>2</sub>-CO—
9. **(Previously Cancelled).**
10. **(Original)** A compound according to Claim 1 wherein each R<sup>a</sup> is hydrogen.
11. **(Original)** A compound according to Claim 1 wherein R<sup>2</sup> is —(CH<sub>2</sub>)<sub>n</sub>-phenyl.
12. **(Original)** A compound according to Claim 1 wherein R<sup>2</sup> is —(CH<sub>2</sub>)<sub>n</sub>-naphthyl.
13. **(Original)** A compound according to Claim 1 wherein R<sup>2</sup> is —(CH<sub>2</sub>)<sub>n</sub>-O-phenyl.
14. **(Original)** A compound according to Claim 1 wherein R<sup>2</sup> is —(CH<sub>2</sub>)<sub>n</sub>-O-naphthyl.
15. **(Original)** A compound according to Claim 1 wherein R<sup>2</sup> is —(CH<sub>2</sub>)<sub>n</sub>-S-phenyl.
16. **(Original)** A compound according to Claim 1 wherein R<sup>2</sup> is —(CH<sub>2</sub>)<sub>n</sub>-CH(phenyl)<sub>2</sub>.
17. **(Previously Amended)** A compound according to Claim 1 wherein each R<sup>a</sup> is hydrogen; R<sup>1</sup> is benzyloxycarbonyl; R<sup>2</sup> is aryl-X(CRR)<sub>n</sub>—, aryl-(CRR)<sub>n</sub>—, or cycloalkyl-(CRR)<sub>n</sub>—; n is 1, 2, or 3; X is O or S; and R is hydrogen, methyl, or benzyl.
18. **(Previously Amended)** A compound according to Claim 1 wherein each R<sup>a</sup> is hydrogen;

$R^1$  is benzyloxycarbonyl; and

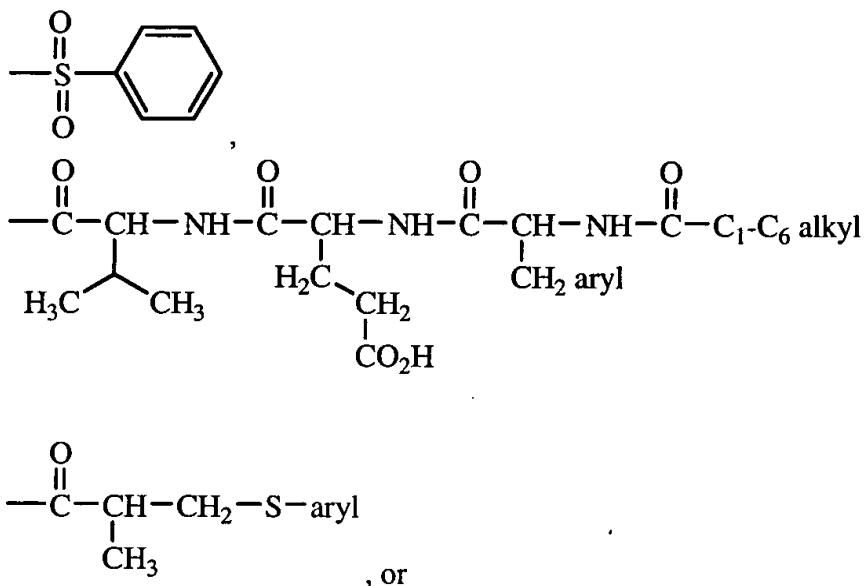
$R^2$  is  $-(CH_2)_n$ -naphthyl,  
 $-(CH_2)_n$ -phenyl,  
 $-(CH_2)_n$ -cycloalkyl,  
 $-(CH_2)_nO(CH_2)_n$ -naphthyl,  
 $-(CH_2)_nO(CH_2)_n$ -phenyl, or  
 $-(CH_2)_nS(CH_2)_n$ -phenyl.

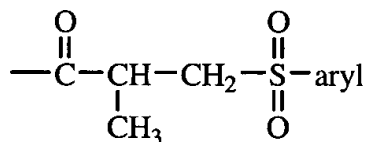
19. **(Original)** A compound according to Claim 1 wherein each  $R^a$  is hydrogen;  
 $R^1$  is benzyloxycarbonyl; and  
 $R^2$  is  $-CH_2$ -naphthyl.

20. **(Previously Amended)** A compound in accordance with Claim 1

wherein each  $R^a$  is hydrogen; and

$R^1$  is benzyloxycarbonyl,





21. **(Original)** A method of inhibiting interleukin-1 $\beta$  converting enzyme, the method comprising administering to a patient in need of inhibition of interleukin-1 $\beta$  converting enzyme a therapeutically effective amount of a compound of Claim 1.
22. **(Original)** A method of inhibiting Caspase-4, the method comprising administering to a patient in need of inhibition of Caspase-4 inhibition a Caspase-4 inhibiting amount of a compound of Claim 1.
23. **(Original)** A method of treating stroke, the method comprising administering to a patient having a stroke or having had a stroke a therapeutically effective amount of a compound of Claim 1.
24. **(Original)** A method of treating inflammatory diseases, the method comprising administering to a patient having an inflammatory disease a therapeutically effective amount of a compound of Claim 1.
25. **(Original)** The method of Claim 24 wherein the inflammatory disease is arthritis.
26. **(Original)** The method of Claim 24 wherein the inflammatory disease inflammatory bowel disease.
27. **(Original)** A method of treating reperfusion injury, the method of comprising administering to a patient having reperfusion injury a therapeutically effective amount of a compound of Claim 1.
28. **(Original)** A method of treating Alzheimer's disease, the method comprising administering to a patient having Alzheimer's disease a therapeutically effective amount of a compound of Claim 1.
29. **(Original)** A method of treating shigellosis, the method comprising administering to a patient having shigellosis a therapeutically effective amount of a compound of Claim 1.

30. **(Original)** A pharmaceutically acceptable composition that contains a compound of Claim 1.
31. **(Previously Cancelled).**
32. **(Previously Cancelled).**
33. **(Previously Cancelled).**
34. **(Previously Amended)** The compounds:  
(S)-5-(Naphthalene-1-yl-acetoxy)-4-oxo-3-phenylacetyl-amino-pentanoic acid;  
3-[(2-Carbamoyl-cyclopentanecarbonyl)-amino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;  
3-[(3-Carbamoyl-bicyclo[2.2.1]heptane-2-carbonyl)-amino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;  
3-(3-Methanesulfonyl-2-methyl-propionyl-amino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;  
3-(3-Benzenesulfonyl-2-methyl-propionyl-amino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;  
3-Butyrylamino-5-(naphthalen-2-yl-acetoxy)-4-oxo-pentanoic acid;  
3-Acetyl-amino-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;  
3-(3-Methanesulfonyl-2-methyl-propionyl-amino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;  
3-(3-Methyl-butyrylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;  
3-(3-Carbamoyl-propionyl-amino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;  
[S-(R\*,R\*)]-3-(3-Acetylsulfanyl-2-methyl-propionyl-amino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid; and  
*trans*-3-[(3-Carbamoyl-cyclopentanecarbonyl)-amino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid.
35. **(Previously Cancelled).**
36. **(Previously Cancelled).**
37. **(Previously Cancelled).**

38. **(Original)** The compounds:

- 3-[(2-Carboxy-cyclohexanecarbonyl)-amino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
- 3-[(2-Methoxycarbonyl-cyclohexanecarbonyl)-amino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid; and
- 3-[(2-Carbamoyl-cyclohexanecarbonyl)-amino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid.

39. **(Original)** The compounds:

- 3-(3-Benzylsulfanyl-2-methyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
- 3-(2-Methyl-3-phenylmethanesulfonyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
- 3-[3-(2-Carboxy-ethanesulfanyl)-2-methyl-propionylamino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
- 5-(2-Benzyl-3-phenyl-propionyloxy)-3-[3-(2-carboxy-ethanesulfonyl)-2-methyl-propionyl amino]-4-oxo-pentanoic acid;
- 5-(2-Benzyl-3-phenyl-propionyloxy)-3-[3-(3-carboxy-propane-1-sulfinyl)-2-methyl-propionylamino]-4-oxo-pentanoic acid;
- 5-(Naphthalen-1-yl-acetoxy)-4-oxo-3-(2-phenylmethanesulfanyl-propionylamino)-pentanoic acid;
- 3-(2-Methyl-3-phenylsulfanyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
- 5-(2-Benzyl-3-phenyl-propionyloxy)-3-(2-methyl-3-phenylsulfanyl-propionylamino)-4-oxo-pentanoic acid;
- 3-(2-Methyl-3-phenethylsulfanyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
- 5-(2-Benzyl-3-phenyl-propionyloxy)-3-(2-methyl-3-phenethylsulfanyl-propionylamino)-4-oxo-pentanoic acid;
- 5-(2-Benzyl-3-phenyl-propionyloxy)-3-(3-benzylsulfanyl-2-methyl-propionylamino)-4-oxo-pentanoic acid;
- 5-(2-Benzyl-3-phenyl-propionyloxy)-3-(2-benzylsulfanyl-propionylamino)-4-oxo-pentanoic acid;
- 3-[2-Methyl-3-(3-phenyl-propylsulfanyl)-propionylamino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

- 3-(3-Benzenesulfonyl-2-methyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
- 3-(3-Benzenesulfonyl-2-methyl-propionylamino)-5-(2-benzyl-3-phenyl-propionyloxy)-4-oxo-pentanoic acid;
- 5-(2-Benzyl-3-phenyl-propionyloxy)-3-[2-methyl-3-(2-phenyl-ethanesulfonyl)-propionyl amino]-4-oxo-pentanoic acid;
- 3-[2-Methyl-3-(2-phenyl-ethanesulfonyl)-propionylamino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
- 5-(Naphthalen-1-yl-acetoxy)-4-oxo-3-(2-phenylmethanesulfonyl-propionylamino)-pentanoic acid;
- 5-(2-Benzyl-3-phenyl-propionyloxy)-3-(2-methyl-3-phenylmethanesulfonyl-propionyl amino)-4-oxo-pentanoic acid;
- 5-(2-Benzyl-3-phenyl-propionyloxy)-4-oxo-3-(2-phenylmethanesulfonyl-propionylamino)-pentanoic acid;
- 3-[2-Methyl-3-(3-phenyl-propane-1-sulfonyl)-propionylamino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
- 5-(2-Benzyl-3-phenyl-propionyloxy)-3-[2-methyl-3-(3-phenyl-propane-1-sulfonyl)-propionylamino]-4-oxo-pentanoic acid;
- 5-(2-Benzyl-3-phenyl-propionyloxy)-3-[3-(2-carboxy-ethylsulfanyl)-2-methyl-propionyl amino]-4-oxo-pentanoic acid;
- 3-[3-(3-Carboxy-propylsulfanyl)-2-methyl-propionylamino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
- 5-(2-Benzyl-3-phenyl-propionyloxy)-3-[3-(3-carboxy-propylsulfanyl)-2-methyl-propionyl amino]-4-oxo-pentanoic acid;
- 3-(3-Carboxymethylsulfanyl-2-methyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
- 5-(2-Benzyl-3-phenyl-propionyloxy)-3-(3-carboxymethylsulfanyl-2-methyl-propionyl amino)-4-oxo-pentanoic acid;
- 3-[3-(2-Carboxy-ethanesulfonyl)-2-methyl-propionylamino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
- 3-[3-(3-Carboxy-propane-1-sulfonyl)-2-methyl-propionylamino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
- 3-(3-Carboxymethanesulfonyl-2-methyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;



- 5-(2-Benzyl-3-phenyl-propionyloxy)-3-[3-(3-carboxy-propane-1-sulfonyl)-2-methyl propionylamino]-4-oxo-pentanoic acid;  
5-(2-Benzyl-3-phenyl-propionyloxy)-3-(3-carboxymethanesulfonyl-2-methyl-propionyl amino)-4-oxo-pentanoic acid;  
3-[3-(3-Carboxy-propane-1-sulfinyl)-2-methyl-propionylamino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;  
3-[2-Methyl-3-(3-phenyl-propane-1-sulfinyl)-propionylamino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid; and  
5-(2-Benzyl-3-phenyl-propionyloxy)-3-[2-methyl-3-(3-phenyl-propane-1-sulfinyl)-propionylamino]-4-oxo-pentanoic acid.
40. **(Original)** The compounds:  
3-[3-Methyl-2-(phenethylcarbamoyl-methyl)-butyrylamino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid; and  
3-(3-Carboxy-2-methyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid.
41. **(Original)** The compound:  
3-(2-Methyl-3-sulfamoyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid.
42. **(Previously Cancelled).**
43. **(Previously Cancelled).**
44. **(Previously Added)** The compounds:  
3-Benzylloxycarbonylamino-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;  
3-Benzylloxycarbonylamino-4-oxo-5-(3-phenyl-propionyloxy)-pentanoic acid;  
3-Benzylloxycarbonylamino-5-(3-cyclohexyl-propionyloxy)-4-oxo-pentanoic acid;  
3-Benzylloxycarbonylamino-5-[(naphthalene-1-yl-oxy)-acetoxy]-4-oxo-pentanoic acid;  
3-Benzylloxycarbonylamino-4-oxo-5-phenoxyacetoxy-pentanoic acid;  
3-Benzylloxycarbonylamino-4-oxo-5-phenylsulfanylacetoxy-pentanoic acid;  
3-Benzylloxycarbonylamino-5-[(6-methoxy-naphthalene-1-yl)-acetoxy]-4-oxo-pentanoic acid;  
3-Benzylloxycarbonylamino-5-(naphthalene-2-yl-acetoxy)-4-oxo-pentanoic acid;  
3-Benzylloxycarbonylamino-5-(3-naphthalene-2-yl-propionyloxy)-4-oxo-pentanoic acid;

3-Benzyloxycarbonylamino-5-(3,3-diphenyl-propionyloxy)-4-oxo-pentanoic acid;  
3-Benzyloxycarbonylamino-5-(2-naphthalene-1-yl-propionyloxy)-4-oxo-pentanoic acid;  
5-[(Acetyl-phenyl-amino)-acetoxyl]-3-benzyloxycarbonyl-amino-4-oxo-pentanoic acid;  
3-Benzyloxycarbonylamino-5-(2-benzyl-3-phenyl-propionyloxy)-4-oxo-pentanoic acid;  
3-Benzyloxycarbonylamino-5-(hydroxy-naphthalene-1-yl-acetoxyl)-4-oxo-pentanoic acid;  
3-Benzyloxycarbonylamino-4-oxo-5-[(phenyl-amino)-acetoxyl]-pentanoic acid;  
3-Benzyloxycarbonylamino-5-[(6-hydroxy-naphthalene-1-yl)-acetoxyl]-4-oxo-pentanoic acid;  
3-Benzyloxycarbonylamino-5-[3-(4-hydroxy-phenyl)-2-naphthalene-1-yl-propionyloxy]-4-oxo-pentanoic acid;  
(S)-3-Benzyloxycarbonylamino-4-oxo-5-phenylacetoxyl-pentanoic acid;  
(S)-3-Benzyloxycarbonylamino-4-oxo-5-(4-phenyl-butyryloxy)-pentanoic acid;  
3-Benzyloxycarbonylamino-4-oxo-5-[(4-phenyl-naphthalen-1-yl)-acetoxyl]-pentanoic acid;  
3-Benzyloxycarbonylamino-5-[(4-methyl-naphthalen-1-yl)-acetoxyl]-4-oxo-pentanoic acid;  
3-Benzyloxycarbonylamino-4-oxo-5-[(4-thiophen-2-yl-naphthalen-1-yl)-acetoxyl]-pentanoic acid;  
3-Benzyloxycarbonylamino-5-[(4-fluoro-naphthalen-1-yl)-acetoxyl]-4-oxo-pentanoic acid;  
3-Benzyloxycarbonylamino-5-[(2-methyl-naphthalen-1-yl)-acetoxyl]-4-oxo-pentanoic acid;  
3-Benzyloxycarbonylamino-5-[(2-fluoro-naphthalen-1-yl)-acetoxyl]-4-oxo-pentanoic acid;  
5-[(4-Benzyl-naphthalen-1-yl)-acetoxyl]-3-benzyloxycarbonylamino-4-oxo-pentanoic acid;  
3-Benzyloxycarbonylamino-5-[(3,4-dihydro-naphthalen-1-yl)-acetoxyl]-4-oxo-pentanoic acid;  
3-Benzyloxycarbonylamino-5-(3,4-diphenyl-butyryloxy)-4-oxo-pentanoic acid;  
3-Benzyloxycarbonylamino-4-oxo-5-(3-phenyl-3-phenylamino-propionyloxy)-pentanoic acid;  
3-Benzyloxycarbonylamino-4-oxo-5-[(1,2,3,4-tetrahydro-naphthalen-2-yl)-acetoxyl]-pentanoic acid;  
3-Benzyloxycarbonylamino-4-oxo-5-[(2,3,5,6-tetramethyl-phenyl)-acetoxyl]-pentanoic acid;  
3-Benzyloxycarbonylamino-5-[(2,3-dichloro-phenyl)-acetoxyl]-4-oxo-pentanoic acid;  
3-Benzyloxycarbonylamino-5-[(5-methyl-naphthalen-1-yl)-acetoxyl]-4-oxo-pentanoic acid;  
3-Benzyloxycarbonylamino-5-[(2-iodo-phenyl)-acetoxyl]-4-oxo-pentanoic acid;  
3-Benzyloxycarbonylamino-5-[(5-methoxy-naphthalen-1-yl)-acetoxyl]-4-oxo-pentanoic acid;  
3-Benzyloxycarbonylamino-5-[(8-methyl-naphthalen-1-yl)-acetoxyl]-4-oxo-pentanoic acid;

3-Benzyloxycarbonylamino-5-[(9H-fluoren-9-yl)-acetoxy]-4-oxo-pentanoic acid;  
3-Benzyloxycarbonylamino-5-[(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-  
acetoxy]-4-oxo-pentanoic acid;  
3-Benzyloxycarbonylamino-5-(2-benzyl-3-phenyl-propionyloxy)-4-oxo-pentanoic acid; and  
3-Benzyloxycarbonylamino-5-[(5-cyano-naphthalen-1-yl)-acetoxy]-4-oxo-pentanoic acid.

45. **(Previously Added)** The compounds:

[S-(R\*,R\*)]-3-(2-Acetylamino-propionylamino)-5-(naphthalene-1-yl-acetoxy)-4-oxo-  
pentanoic acid;  
5-(Naphthalen-1-yl-acetoxy)-4-oxo-3-[(thiophene-3-carbonyl)-amino]-pentanoic acid;  
3-(2-Methanesulfonylamino-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-  
pentanoic acid;  
3-[2-(2-Acetylamino-4-phenyl-butyrylamino)-propionylamino]-5-(naphthalen-1-yl-  
acetoxy)-4-oxo-pentanoic acid;  
3-(2-Acetylamino-butyrylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;  
3-[2-(4-Carbamoyl-butyrylamino)-propionylamino]-5-(naphthalen-1-yl-acetoxy)-  
4-oxo-pentanoic acid;  
3-(2-Benzyloxycarbonylamino-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-  
pentanoic acid;  
5-(Naphthalen-1-yl-acetoxy)-4-oxo-3-(2-ureido-propionylamino)-pentanoic acid;  
3-(2-Acetylamino-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;  
3-(2-Acetylamino-acetylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;  
3-(2-Acetylamino-propionylamino)-5-(3,3-diphenyl-propionyloxy)-4-oxo-pentanoic acid;  
3-[2-(2-Acetylamino-4-carboxy-butyrylamino)-propionylamino]-5-(naphthalen-1-yl-  
acetoxy)-4-oxo-pentanoic acid;  
5-(Naphthalen-1-yl-acetoxy)-4-oxo-3-[2-(3-phenyl-propionylamino)-propionylamino]-  
pentanoic acid;  
3-[2-(3-Methyl-butyrylamino)-propionylamino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-  
pentanoic acid; and  
3-(4-Carbamoyl-butyrylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid.

46. **(Previously Added)** The compounds:

3-(2-Methyl-3-phenethylcarbamoyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-  
pentanoic acid;  
3-[3-Methyl-2-(3-phenyl-propionylamino)-butyrylamino]-4-oxo-5-[(1-oxo-

1,2,3,4-tetrahydro-naphthalen-2-yl)-acetoxyl]-pentanoic acid;  
5-(Naphthalen-2-yl-acetoxyl)-4-oxo-3-[2-(1-oxo-3,4-dihydro-1H-isoquinolin-2-yl)-  
acetylaminol]-pentanoic acid;  
5-(2-Benzyl-3-phenyl-propionyloxy)-4-oxo-3-[2-(1-oxo-1,2,3,4-tetrahydro-naphthalen-  
2-yl)-acetylaminol]-pentanoic acid;  
4-Oxo-5-[(1-oxo-1,2,3,4-tetrahydro-naphthalen-2-yl)-acetoxyl]-3-[2-(1-oxo-  
1,2,3,4-tetrahydro-naphthalen-2-yl)-acetylaminol]-pentanoic acid;  
3-(2-Acetylaminol-3-methyl-butyrylamino)-5-(naphthalen-1-yl-acetoxyl)-4-oxo-  
pentanoic acid;  
3-(2-Acetylaminol-3-methyl-butyrylamino)-5-(2-benzyl-3-phenyl-propionyloxy)-4-oxo-  
pentanoic acid;  
3-(2-Acetylaminol-3-methyl-butyrylamino)-5-(3-benzyl-4-phenyl-butyryloxy)-4-oxo-  
pentanoic acid;  
3-(2-Acetylaminol-3-methyl-butyrylamino)-5-(4-benzyl-5-phenyl-pentanoyloxy)-4-oxo-  
pentanoic acid;  
3-(2-Acetylaminol-3-methyl-butyrylamino)-4-oxo-5-[(1-oxo-1,2,3,4-tetrahydro  
naphthalen-2-yl)-acetoxyl]-pentanoic acid; and  
5-(3-Benzyl-4-phenyl-butyryloxy)-3-[3-methyl-2-(3-phenyl-propionylamino)-  
butyrylamino]-4-oxo-pentanoic acid.

47. **(Previously Added)** The compounds:

3-[2-(2-Benzoyloxycarbonylamino-4-carboxy-butyrylamino)-3-methyl-butyrylamino]-  
5-(naphthalen-1-yl-acetoxyl)-4-oxo-pentanoic acid;  
3-[2-(2-Benzoyloxycarbonylamino-3-methyl-butyrylamino)-propionylamino]-  
5-(naphthalen-1-yl-acetoxyl)-4-oxo-pentanoic acid;  
3-(2-Acetylaminol-3-methyl-butyrylamino)-5-(naphthalen-1-yl-acetoxyl)-4-oxo-  
pentanoic acid;  
3-[2-(2-Benzoyloxycarbonylamino-3-methyl-butyrylamino)-propionylamino]-  
5-(3,3-diphenyl-propionyloxy)-4-oxo-pentanoic acid;  
3-[2-(2-Benzoyloxycarbonylamino-3-methyl-butyrylamino)-propionylamino]-  
5-(2-benzyl-3-phenyl-propionyloxy)-4-oxo-pentanoic acid;  
3-[2-(2-Benzoyloxycarbonylamino-3-methyl-butyrylamino)-propionylamino]-  
5-(naphthalen-1-yl-acetoxyl)-4-oxo-pentanoic acid;  
5-(2-Benzyl-3-phenyl-propionyloxy)-3-{2-[4-carboxy-2-(3-phenyl-propionyl  
amino)-butyrylamino]-3-methyl-butyrylamino}-4-oxo-pentanoic acid;

3-(2-Benzoyloxycarbonylamino-3-methyl-butyrylamino)-5-(3,3-diphenyl-propionyloxy)-4-oxo-pentanoic acid;  
3-(2-Acetylamino-3-hydroxy-butyrylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;  
3-(2-Acetylamino-3-hydroxy-butyrylamino)-5-(3,3-diphenyl-propionyloxy)-4-oxo-pentanoic acid; and  
5-(3,3-Diphenyl-propionyloxy)-4-oxo-3-[2-(4-phenyl-butyrylamino)-propionyl amino]-pentanoic acid.

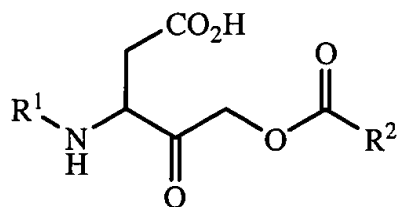
48. **(Previously Added)** The compounds:

3-(2-{2-[2-Acetylamino-3-(4-hydroxy-phenyl)-propionylamino]-4-carboxy-butyrylamino}-3-methyl-butyrylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid.

49. **(Previously Added)** The compounds:

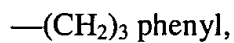
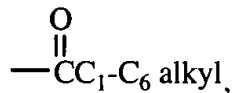
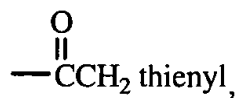
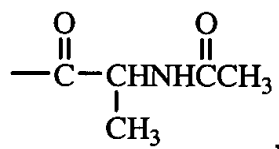
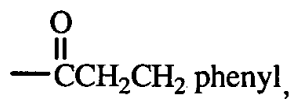
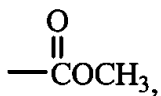
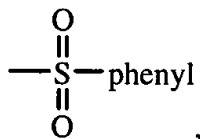
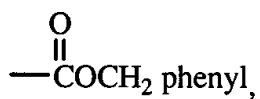
3-(3-Carbamoyl-2-methyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;  
3-(2-Benzoyloxycarbonylamino-3-methyl-naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;  
3-[(2-Carbamoyl-cyclopentanecarbonyl)-amino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;  
3-(2-{2-[2-Acetylamino-3-(4-hydroxy-phenyl)-propionylamino]-4-carboxy-butyrylamino}-3-methyl-butyrylamino)-5-(2-benzyl-3-phenyl-propionyloxy)-4-oxo-pentanoic acid;  
3-(3-Carbamoyl-2-methyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;  
3-(2-Carbamoylmethyl-3-methyl-butyrylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;  
3-(3-Benzoyloxy-2-ureido-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;  
3-[2-(2-Benzoyloxycarbonylamino-4-carboxy-butyrylamino)-3-methyl-butyrylamino]-5-(2-benzyl-3-phenyl-propionyloxy)-4-oxo-pentanoic acid;  
3-{2-[4-Carboxy-2-(3-phenyl-propionylamino)-butyrylamino]-3-methyl-butyrylamino}-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid; and  
3-[2-(2-Acetylamino-4-carboxy-butyrylamino)-3-methyl-butyrylamino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid.

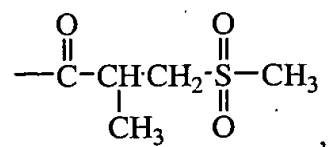
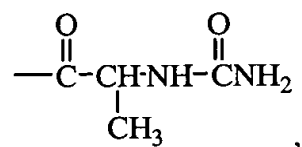
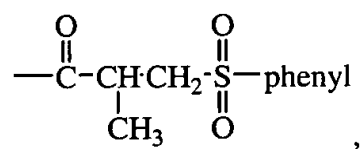
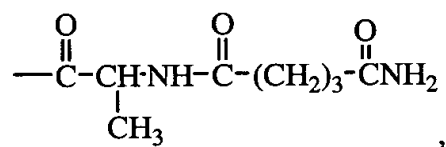
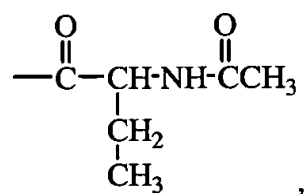
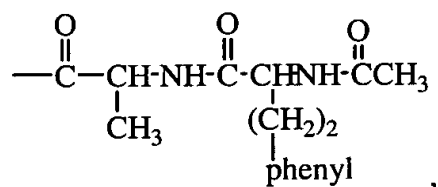
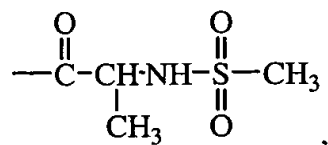
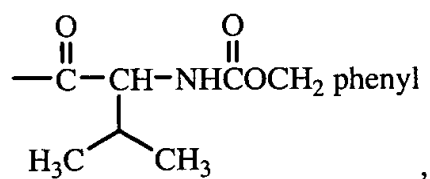
50. (Currently Amended) A compound of the Formula I

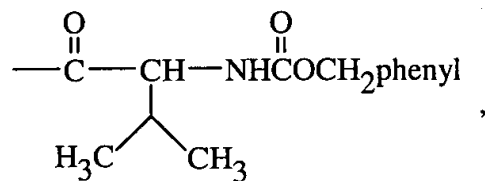
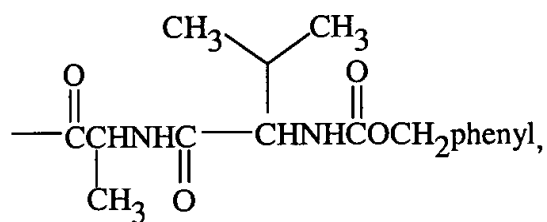
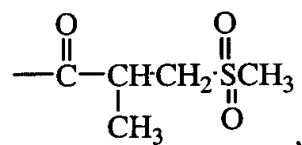
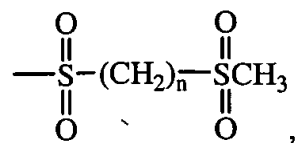
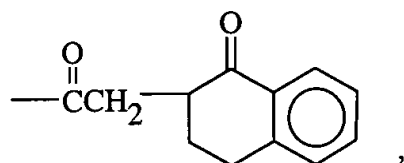
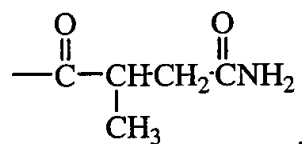
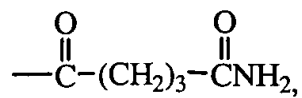
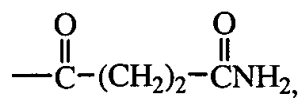


I

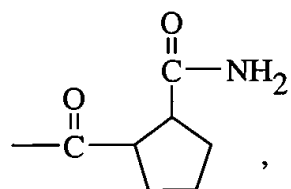
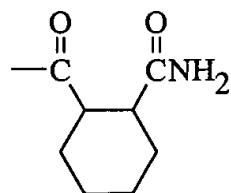
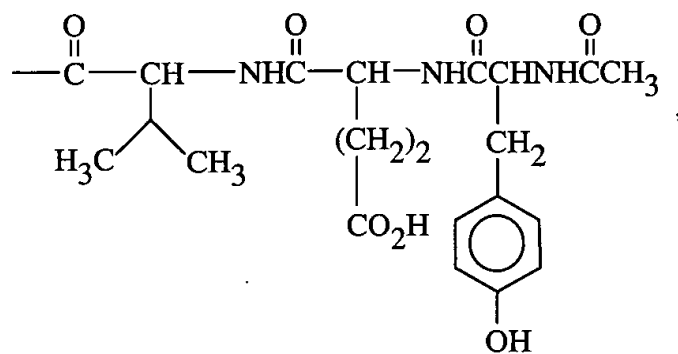
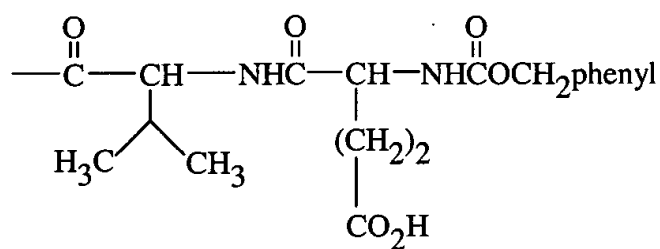
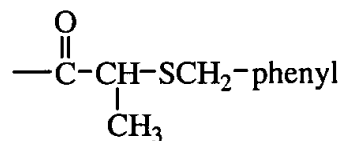
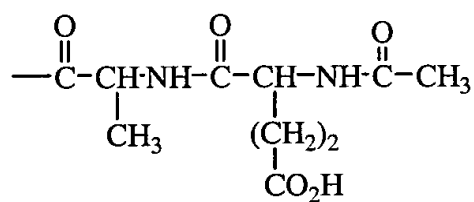
wherein R<sup>1</sup> is

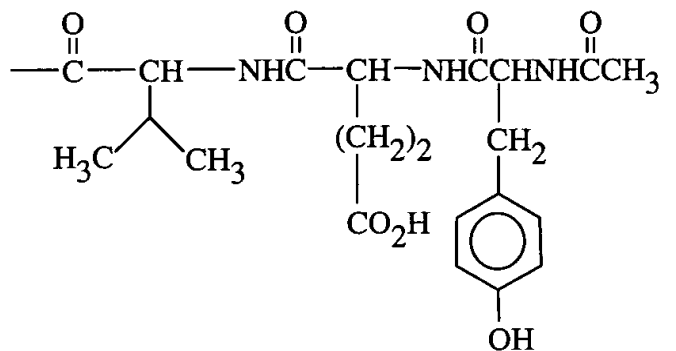
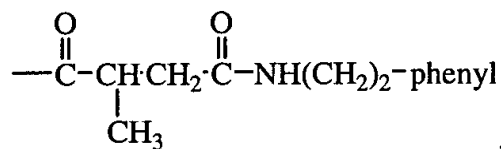
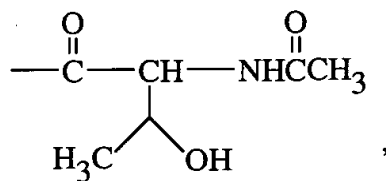
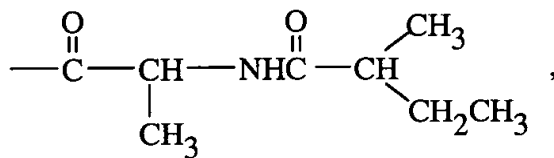
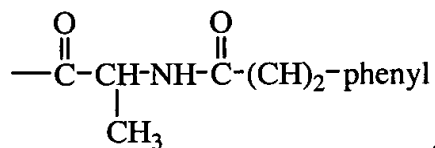
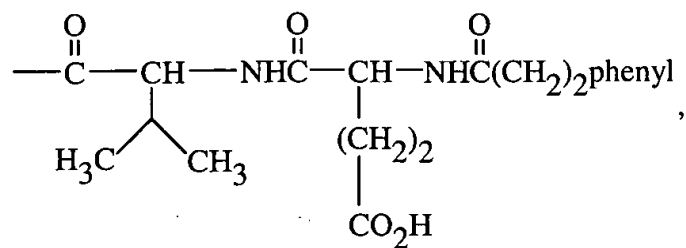


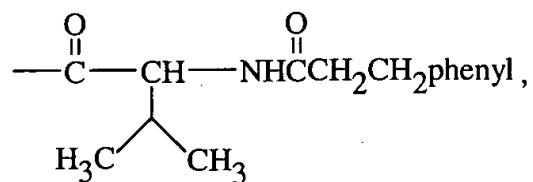
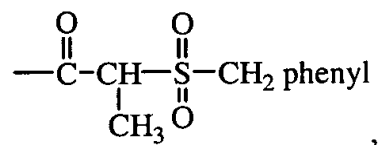
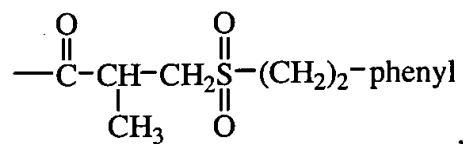
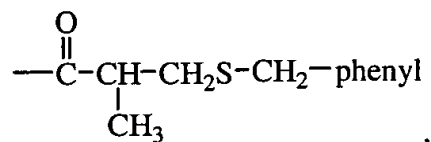
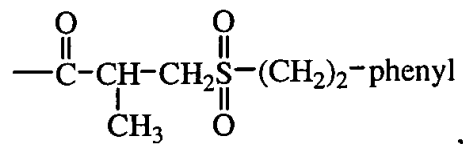
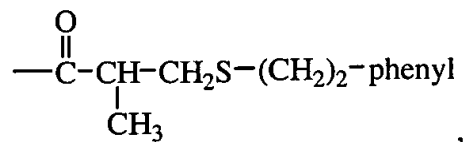
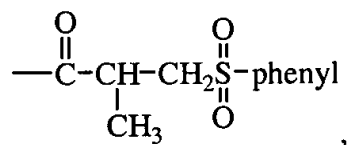
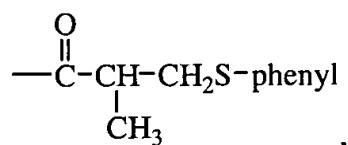


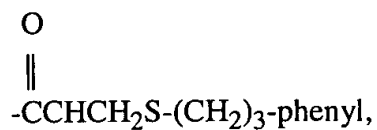
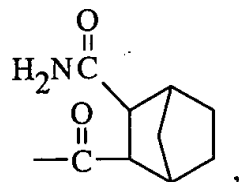
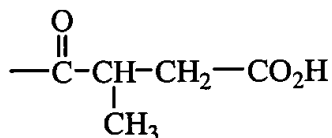
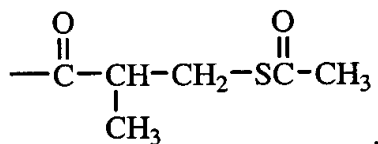
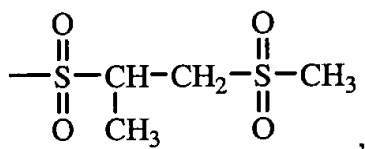
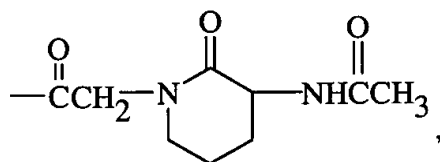
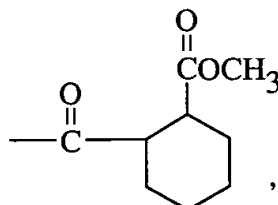
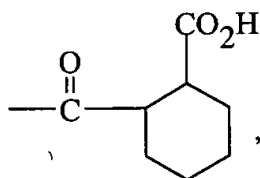


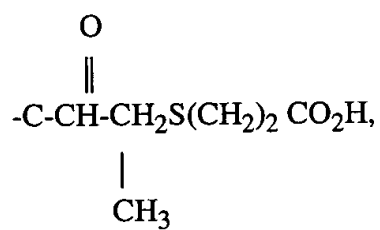
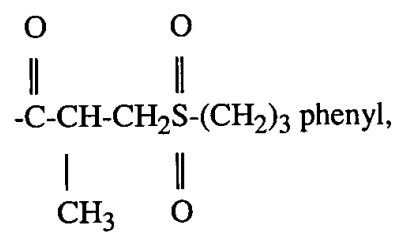


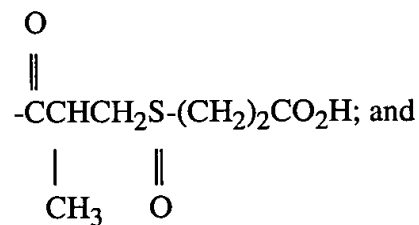
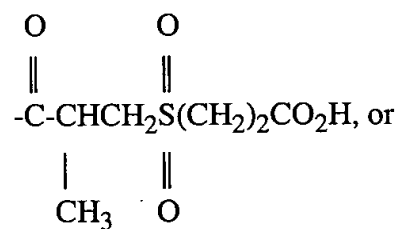




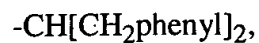
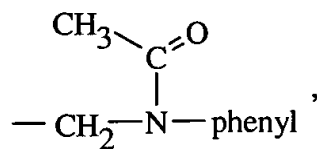
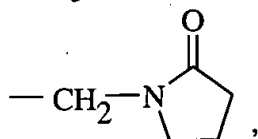
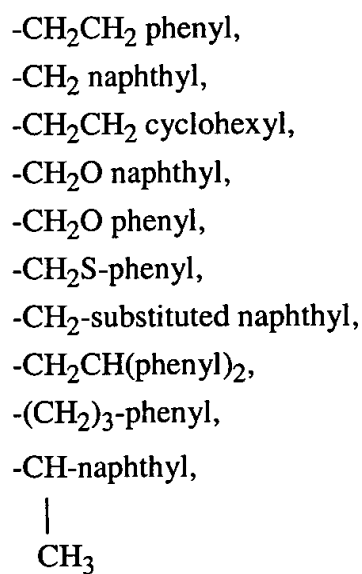








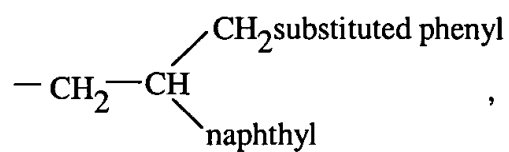
R<sup>2</sup> is



-CH-naphthyl,



-CH<sub>2</sub>-NH phenyl,

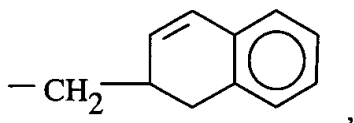
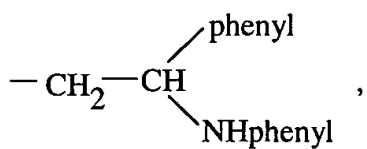
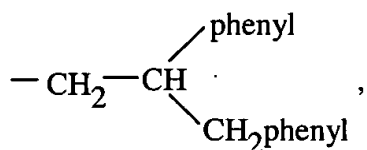
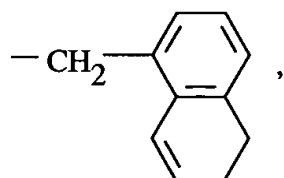


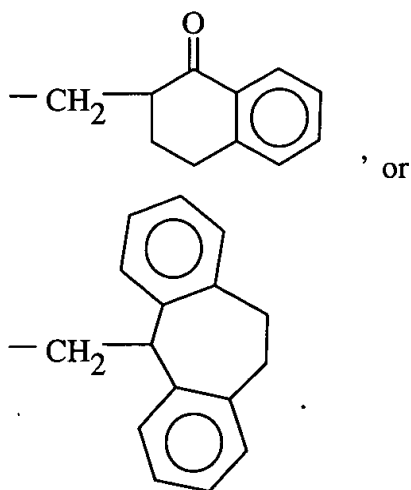
-CH<sub>2</sub>-naphthyl-phenyl,

-CH<sub>2</sub>-fluorenyl,

-CH<sub>2</sub>-naphthyl-CH<sub>2</sub> phenyl,

-CH<sub>2</sub>-substituted phenyl,



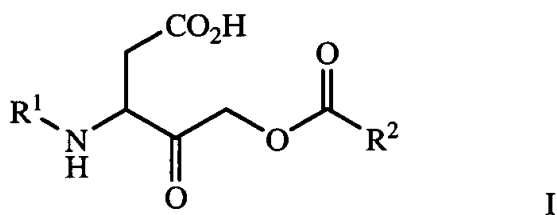


each n is independently 0 to 3, and the pharmaceutically acceptable, salts, ~~esters,~~  
~~amides, and prodrugs~~ thereof.

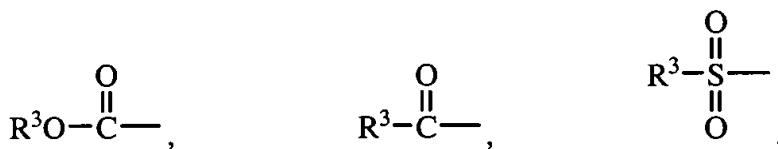
51. **(Previously Added)** The compounds:

- 3-Benzenesulfonylamino-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
- 3-Methoxycarbonylamino-5-(naphthalene-1-yl-acetoxy)-4-oxo-pentanoic acid;
- 5-(Naphthalene-1-yl-acetoxy)-4-oxo-3-(3-phenyl-propionylamino)-pentanoic acid;
- 3-Methoxycarbonylamino-4-oxo-5-phenoxyacetoxy-pentanoic acid; and
- 3-(2-Methanesulfonyl-1-methyl-ethylsulfanylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid.

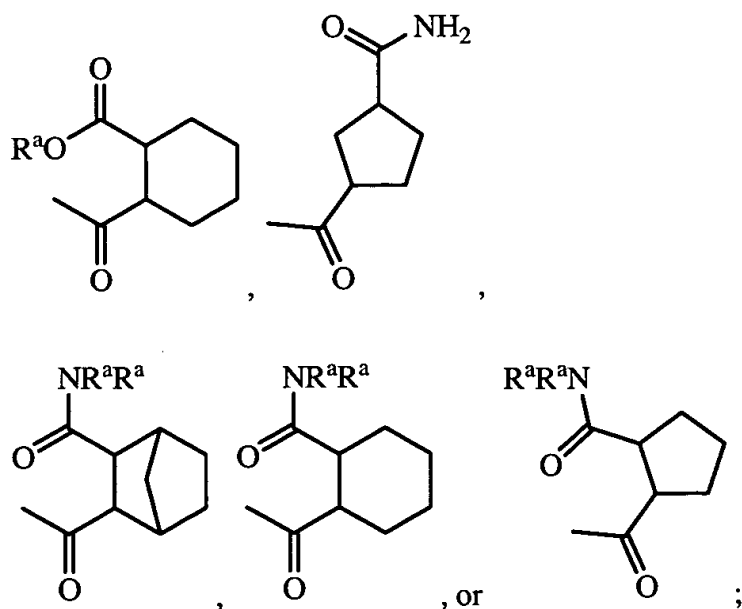
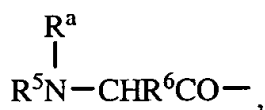
52. **(Currently Amended)** A compound of the Formula I



wherein R<sup>1</sup> is







each  $\text{R}^a$  is independently hydrogen,  $\text{C}_1\text{-C}_6$  alkyl, or  $-(\text{CH}_2)_n$  aryl;

$\text{R}^2$  is  $-(\text{CRR})_n\text{-aryl}$ ,

$-(\text{CRR})_n\text{-X-aryl}$ ,

$-(\text{CRR})_n\text{-(substituted-aryl)}$ , provided that the aryl group is not substituted with

alkoxy, halogen, or trifluoromethyl,

$-(\text{CRR})_n\text{-X-(substituted-aryl)}$ ,

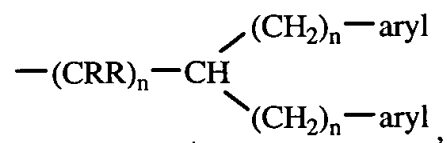
$-(\text{CRR})_n\text{-aryl-aryl}$ ,

$-(\text{CRR})_n\text{-aryl-(CH}_2)_n\text{-aryl}$ ,

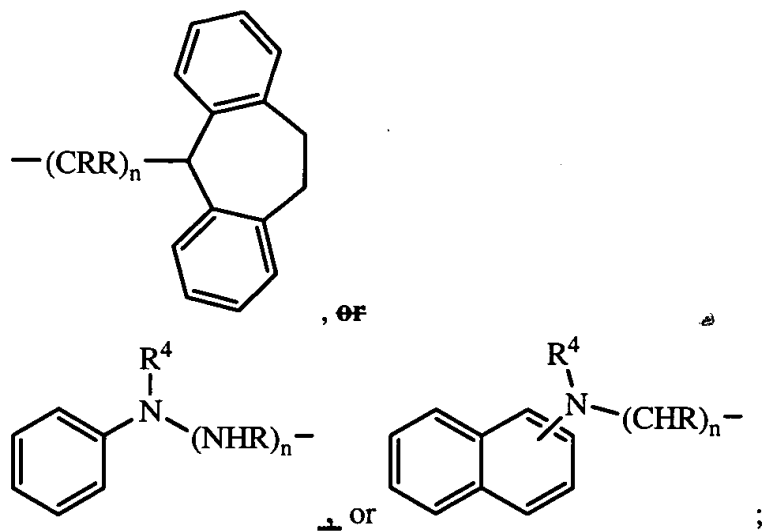
$-(\text{CRR})_n\text{-CH(aryl)}_2$ ,

$-(\text{CRR})_n\text{-cycloalkyl}$ ,

$-(\text{CRR})_n\text{-X-cycloalkyl}$ ,







each R is independently hydrogen,  $C_1$ - $C_6$  alkyl, halogen or hydroxy;

X is O or S;

$R^3$  is  $C_1$ - $C_6$  alkyl,

aryl,

$-(CHR)_n$ -aryl,

$-(CHR)_n$ -substituted aryl,

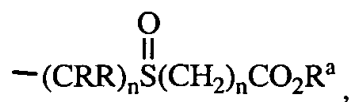
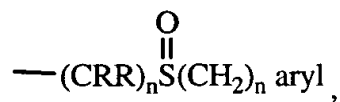
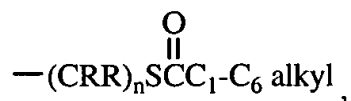
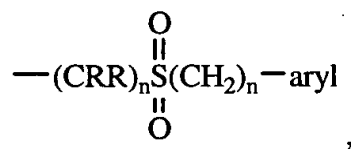
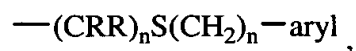
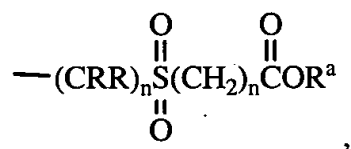
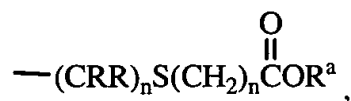
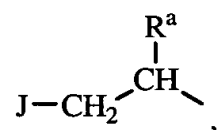
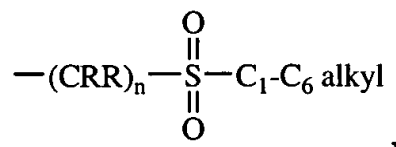
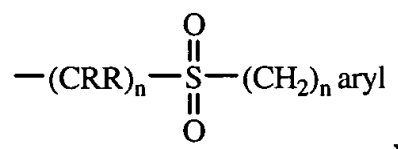
$-(CRR)_n-\overset{\text{O}}{\parallel}{C}-OR^a$ ,

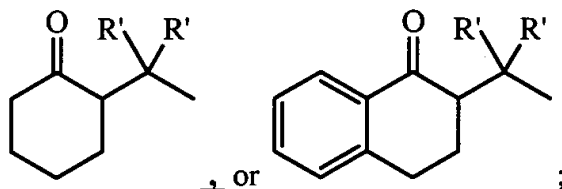
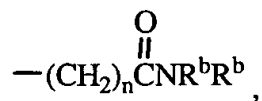
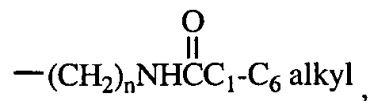
$-(CRR)_nO(CH_2)_n$ -aryl,

cycloalkyl,

substituted cycloalkyl,

$-(CRR)_n-\overset{\text{O}}{\parallel}{C}-NR^aR^a$ ,



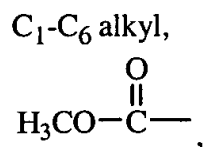


each R' is independently C<sub>1</sub>-C<sub>6</sub> alkyl,  
 C<sub>1</sub>-C<sub>6</sub> alkylaryl,  
 aryl, or  
 hydrogen;

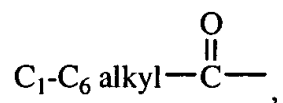
each J is independently  
 —CO<sub>2</sub>R<sup>b</sup>,  
 —CONR<sup>b</sup>R<sup>b</sup>,  
 —SO<sub>2</sub>NR<sup>b</sup>R<sup>b</sup>, or  
 —SO<sub>2</sub>R<sup>b</sup>;

each R<sup>b</sup> is independently hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, aryl, substituted aryl, arylalkyl, or  
 substituted arylalkyl;

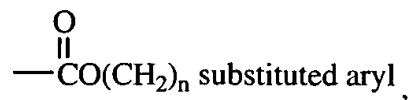
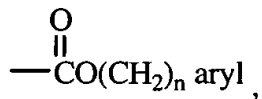
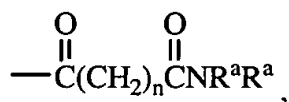
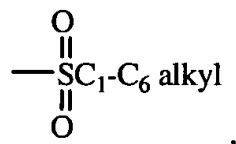
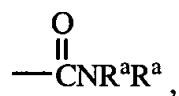
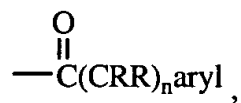
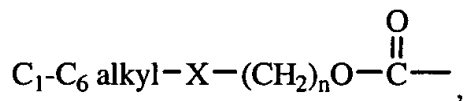
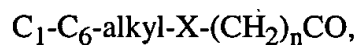
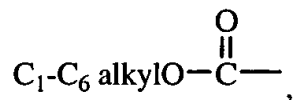
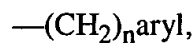
R<sup>4</sup> is hydrogen,

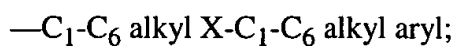
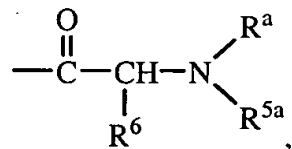
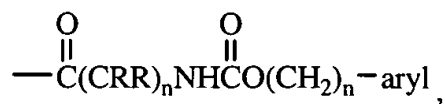


—phenyl, or

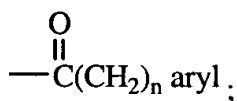
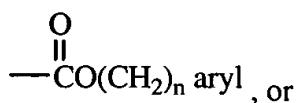
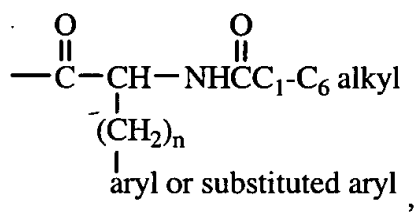
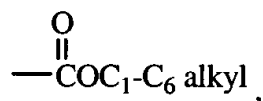
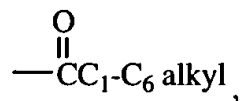


R<sup>5</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl-CO—,





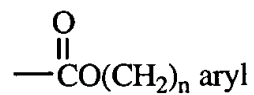
R<sup>5a</sup> is



R<sup>6</sup> is hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl,  $-(\text{CH}_2)_n$  aryl,  $-(\text{CH}_2)_n\text{CO}_2\text{R}^a$ , or hydroxyl substituted C<sub>1</sub>-C<sub>6</sub> alkyl;

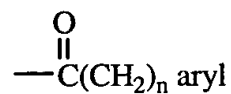
each n is independently 0 to 3,

provided that when R<sup>5a</sup> is



then n is 0, 2, or 3, and

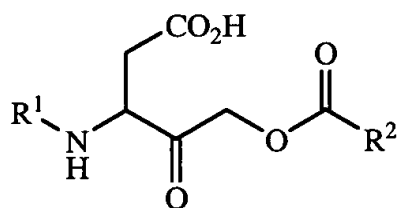
provided that when R<sup>5a</sup> is



then n is 0, 1, or 3,

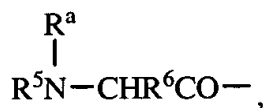
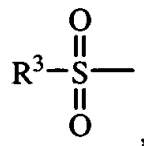
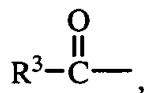
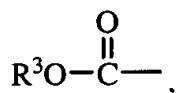
and the pharmaceutically acceptable salts thereof.

53. **(Currently Added)** A compound of the Formula I

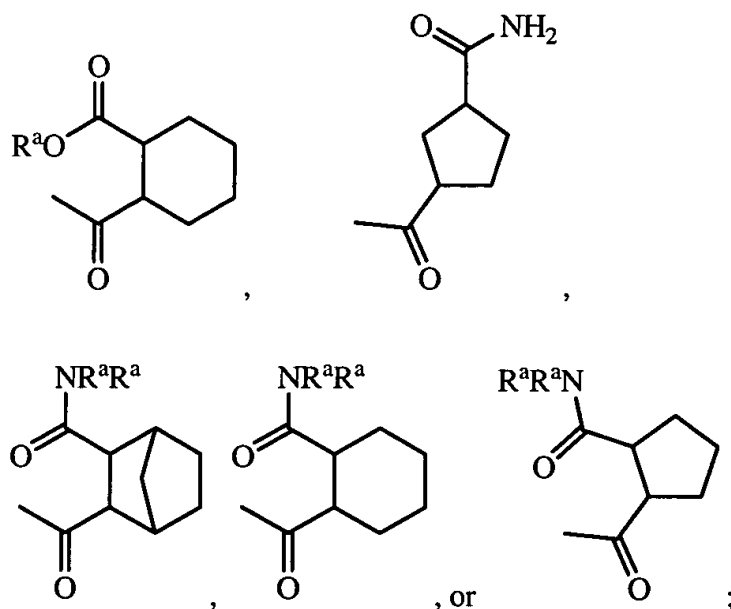


I

wherein R<sup>1</sup> is



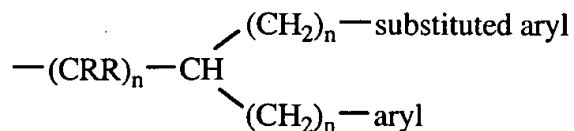
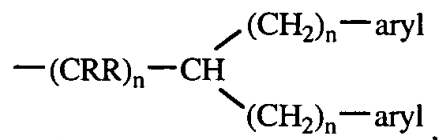


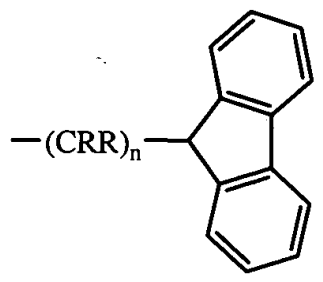
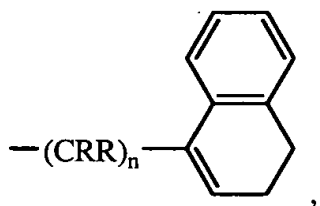
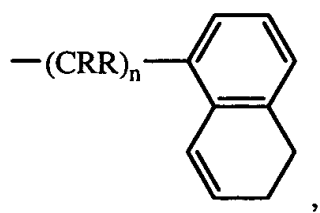
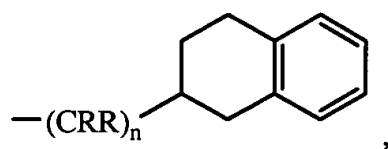
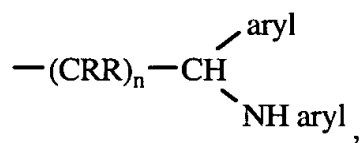
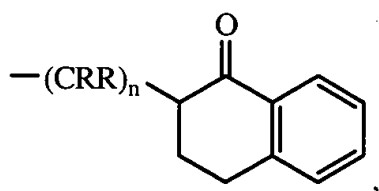


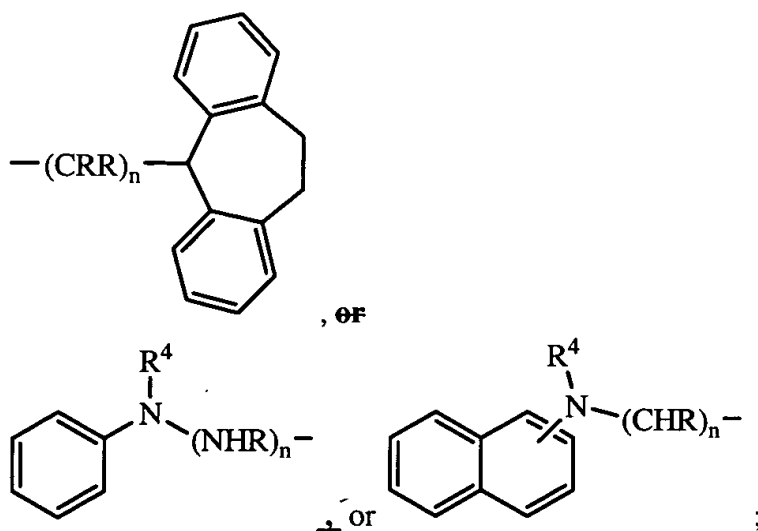
each  $R^a$  is independently hydrogen,  $C_1$ - $C_6$  alkyl, or  $-(CH_2)_n$  aryl;

$R^2$  is  $-(CRR)_n$ -aryl,

- $-(CRR)_n$ -X-aryl,
- $-(CRR)_n$ -X-(substituted-aryl),
- $-(CRR)_n$ -aryl-aryl,
- $-(CRR)_n$ -aryl- $(CH_2)_n$ -aryl,
- $-(CRR)_n$ -CH(aryl)<sub>2</sub>,
- $-(CRR)_n$ -cycloalkyl,
- $-(CRR)_n$ -X-cycloalkyl,







each R is independently hydrogen,  $C_1$ - $C_6$  alkyl, halogen or hydroxy;

X is O or S;

$R^3$  is  $C_1$ - $C_6$  alkyl,

aryl,

$-(CHR)_n$ -aryl,

$-(CHR)_n$ -substituted aryl,

$-(CRR)_n-\overset{\overset{O}{\parallel}}{C}-OR^a$ ,

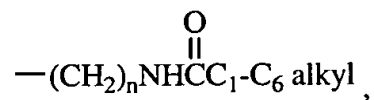
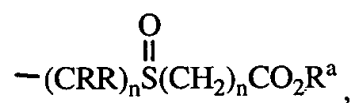
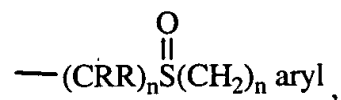
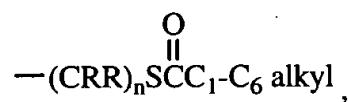
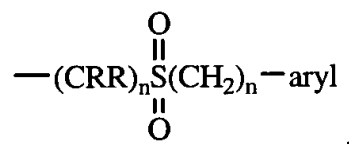
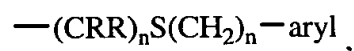
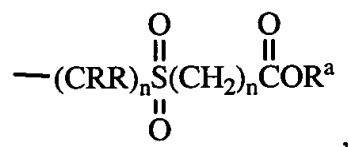
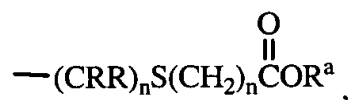
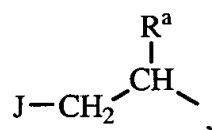
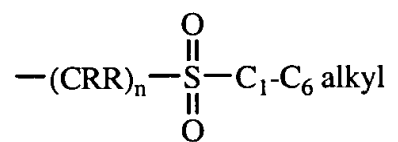
$-(CRR)_nO(CH_2)_n$ -aryl,

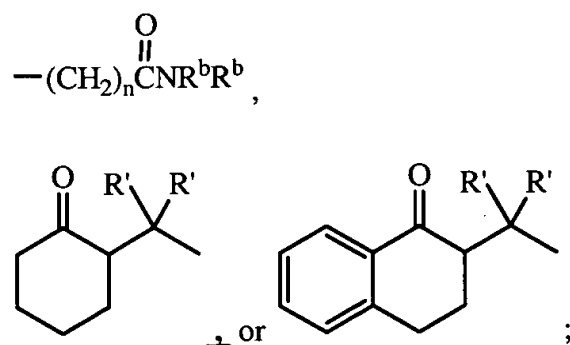
cycloalkyl,

substituted cycloalkyl,

$-(CRR)_n-\overset{\overset{O}{\parallel}}{C}-NR^aR^a$ ,

$-(CRR)_n-\overset{\overset{O}{\parallel}}{\underset{\underset{O}{\parallel}}{S}}-(CH_2)_n$  aryl,



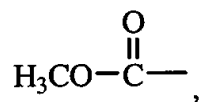


each R' is independently C<sub>1</sub>-C<sub>6</sub> alkyl,  
 C<sub>1</sub>-C<sub>6</sub> alkylaryl,  
 aryl, or  
 hydrogen;

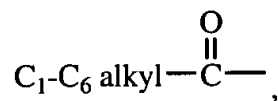
each J is independently  
 —CO<sub>2</sub>R<sup>b</sup>,  
 —CONR<sup>b</sup>R<sup>b</sup>,  
 —SO<sub>2</sub>NR<sup>b</sup>R<sup>b</sup>, or  
 —SO<sub>2</sub>R<sup>b</sup>;

each R<sup>b</sup> is independently hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, aryl, substituted aryl, arylalkyl, or  
 substituted arylalkyl;

R<sup>4</sup> is hydrogen,  
 C<sub>1</sub>-C<sub>6</sub> alkyl,



—phenyl, or



R<sup>5</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl-CO—,

—(CH<sub>2</sub>)<sub>n</sub>aryl,

C<sub>1</sub>-C<sub>6</sub> alkylO— $\overset{\text{O}}{\parallel}$ C—,

C<sub>1</sub>-C<sub>6</sub>-alkyl-X-(CH<sub>2</sub>)<sub>n</sub>CO,

C<sub>1</sub>-C<sub>6</sub> alkyl-X-(CH<sub>2</sub>)<sub>n</sub>O— $\overset{\text{O}}{\parallel}$ C—,

— $\overset{\text{O}}{\parallel}$ C(CRR)<sub>n</sub>aryl,

— $\overset{\text{O}}{\parallel}$ CNR<sup>a</sup>R<sup>a</sup>,

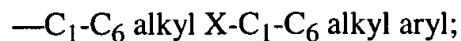
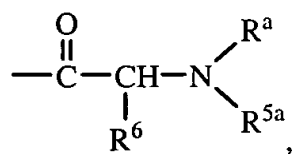
— $\overset{\text{O}}{\parallel}$ SC<sub>1</sub>-C<sub>6</sub> alkyl  
 $\overset{\text{O}}{\parallel}$

— $\overset{\text{O}}{\parallel}$ C(CH<sub>2</sub>)<sub>n</sub> $\overset{\text{O}}{\parallel}$ CNR<sup>a</sup>R<sup>a</sup>,

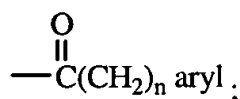
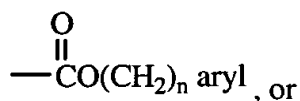
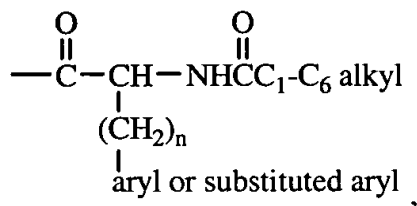
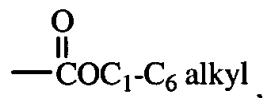
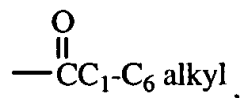
— $\overset{\text{O}}{\parallel}$ CO(CH<sub>2</sub>)<sub>n</sub> aryl,

— $\overset{\text{O}}{\parallel}$ CO(CH<sub>2</sub>)<sub>n</sub> substituted aryl,

— $\overset{\text{O}}{\parallel}$ C(CRR)<sub>n</sub>NH $\overset{\text{O}}{\parallel}$ CO(CH<sub>2</sub>)<sub>n</sub>-aryl,



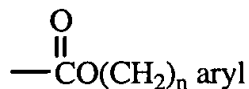
R<sup>5a</sup> is



R<sup>6</sup> is hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl,  $-(\text{CH}_2)_n$  aryl,  $-(\text{CH}_2)_n\text{CO}_2\text{R}^a$ , or hydroxyl substituted C<sub>1</sub>-C<sub>6</sub> alkyl;

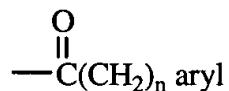
each n is independently 0 to 3,

provided that when R<sup>5a</sup> is



then n is 0, 2, or 3, and

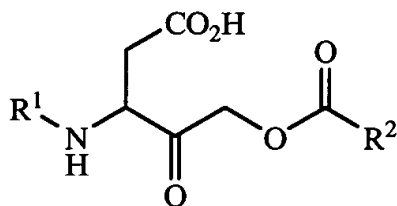
provided that when R<sup>5a</sup> is



then n is 0, 1, or 3,

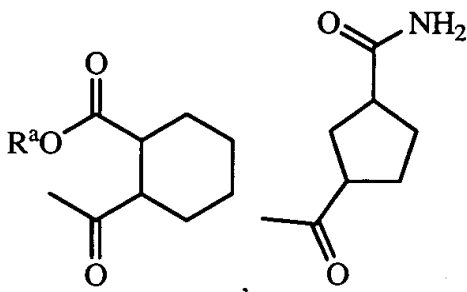
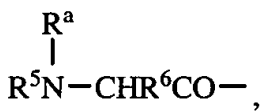
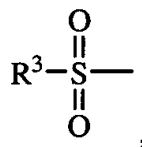
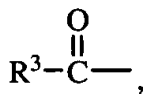
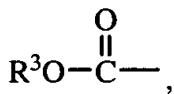
and the pharmaceutically acceptable salts thereof.

54. (Currently Amended) A compound of the Formula I

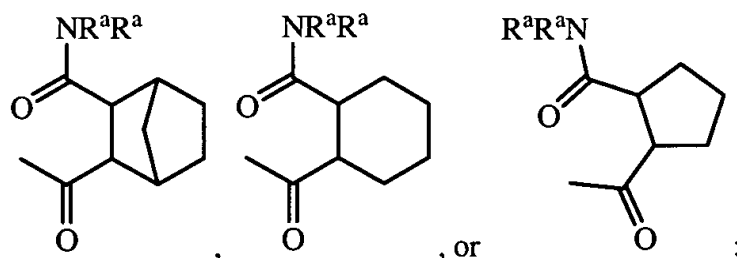


I

wherein R<sup>1</sup> is

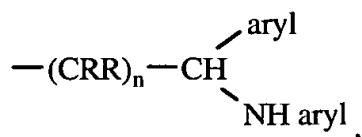
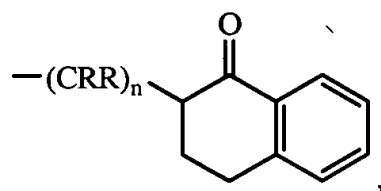
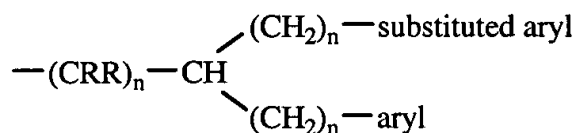
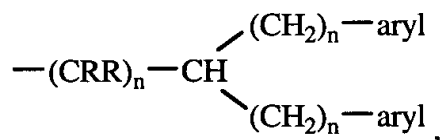


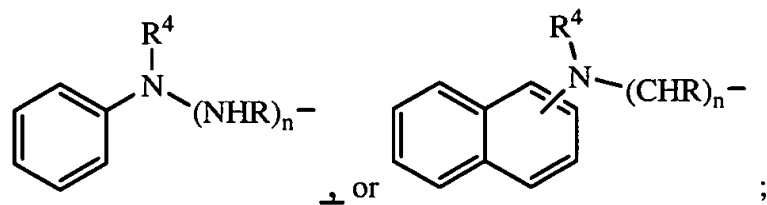
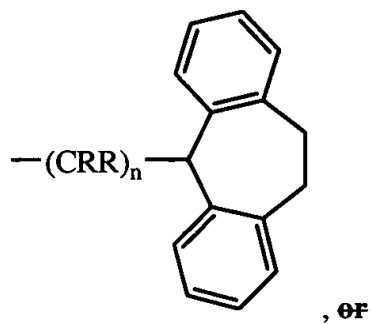
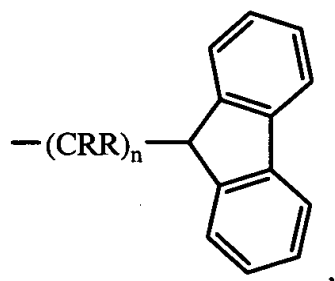
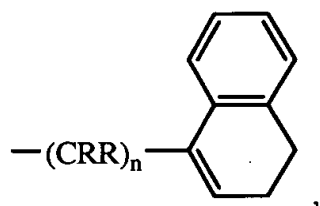
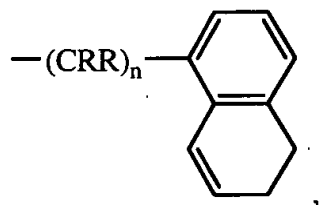
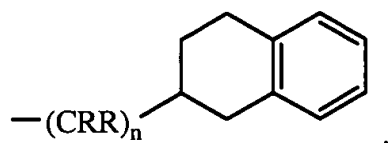




each  $R^a$  is independently hydrogen,  $C_1$ - $C_6$  alkyl, or  $-(CH_2)_n$  aryl;

$R^2$  is  $-(CRR)_n$ -aryl,  
 $-(CRR)_n$ -X-aryl,  
 $-(CRR)_n$ -X-(substituted-aryl),  
 $-(CRR)_n$ -aryl-aryl,  
 $-(CRR)_n$ -aryl- $(CH_2)_n$ -aryl,  
 $-(CRR)_n$ -CH(aryl) $_2$ ,  
 $-(CRR)_n$ -cycloalkyl,  
 $-(CRR)_n$ -X-cycloalkyl,





each R is independently hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, halogen or hydroxy;

X is O or S;

R<sup>3</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl,

aryl,

—(CHR)<sub>n</sub>-aryl,

—(CHR)<sub>n</sub>-substituted aryl,

—(CRR)<sub>n</sub>— $\overset{\text{O}}{\parallel}$ —C—OR<sup>a</sup>,

—(CRR)<sub>n</sub>O(CH<sub>2</sub>)<sub>n</sub>-aryl,

cycloalkyl,

substituted cycloalkyl,

—(CRR)<sub>n</sub>— $\overset{\text{O}}{\parallel}$ —C—NR<sup>a</sup>R<sup>a</sup>,

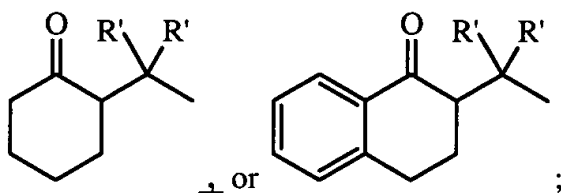
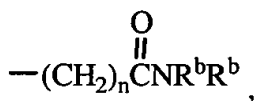
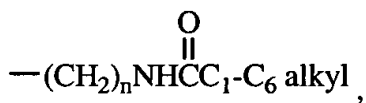
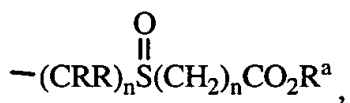
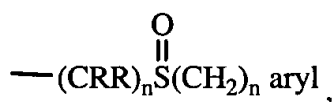
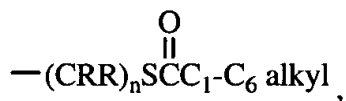
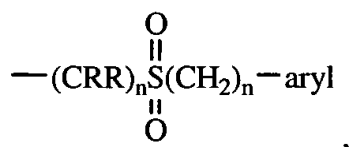
—(CRR)<sub>n</sub>— $\overset{\text{O}}{\parallel}$   
 $\parallel$   
 S—(CH<sub>2</sub>)<sub>n</sub> aryl,

—(CRR)<sub>n</sub>— $\overset{\text{O}}{\parallel}$   
 $\parallel$   
 S—C<sub>1</sub>-C<sub>6</sub> alkyl,

$\begin{array}{c} \text{R}^a \\ | \\ \text{J}-\text{CH}_2-\text{CH}- \end{array}$ ,

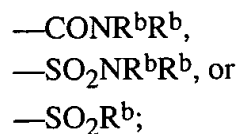
—(CRR)<sub>n</sub>S(CH<sub>2</sub>)<sub>n</sub> $\overset{\text{O}}{\parallel}$ COR<sup>a</sup>,

—(CRR)<sub>n</sub> $\overset{\text{O}}{\parallel}$ S(CH<sub>2</sub>)<sub>n</sub> $\overset{\text{O}}{\parallel}$ COR<sup>a</sup>,



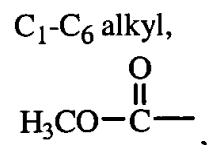
each R' is independently C<sub>1</sub>-C<sub>6</sub> alkyl,  
 C<sub>1</sub>-C<sub>6</sub> alkylaryl,  
 aryl, or  
 hydrogen;

each J is independently  
 —CO<sub>2</sub>R<sup>b</sup>,

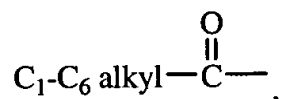


each  $\text{R}^b$  is independently hydrogen,  $\text{C}_1\text{-C}_6$  alkyl, aryl, substituted aryl, arylalkyl, or substituted arylalkyl;

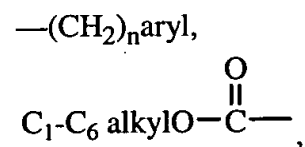
$\text{R}^4$  is hydrogen,



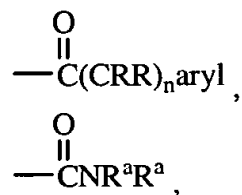
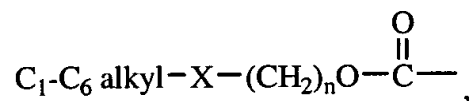
---phenyl, or

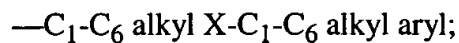
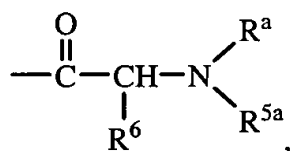
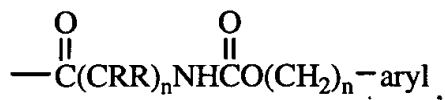
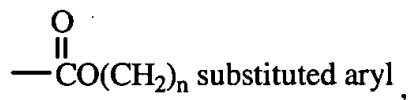
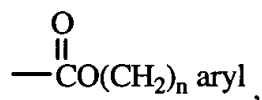
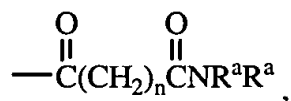
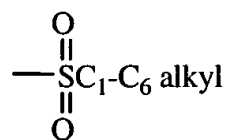


$\text{R}^5$  is  $\text{C}_1\text{-C}_6$  alkyl-CO---,

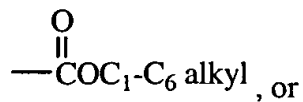
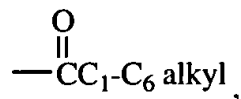


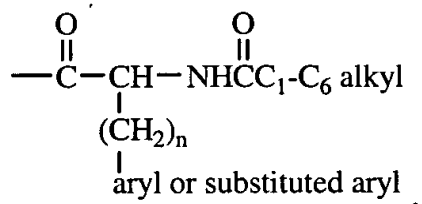
$\text{C}_1\text{-C}_6\text{-alkyl-X-(CH}_2)_n\text{CO},$





R<sup>5a</sup> is





R<sup>6</sup> is hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, —(CH<sub>2</sub>)<sub>n</sub> aryl, —(CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R<sup>a</sup>, or hydroxyl substituted C<sub>1</sub>-C<sub>6</sub> alkyl;

each n is independently 0 to 3,

and the pharmaceutically acceptable salts thereof.

55. **(Currently Amended)** A pharmaceutically acceptable ester, amide, or other prodrug of a compound of formula I according to Claim 1, wherein said ester is a C<sub>5</sub>-C<sub>7</sub> cycloalkyl ester or an arylalkyl ester.
56. **(Previously Added)** The pharmaceutically acceptable ester of a compound of formula I according to Claim 55.
57. **(Previously Added)** The pharmaceutically acceptable amide of a compound of formula I according to Claim 55.
58. **(Previously Added)** The pharmaceutically acceptable prodrug of a compound of formula I according to Claim 55.
59. **(Previously Added)** The pharmaceutically acceptable amide of a compound of formula I according to Claim 57, wherein said amide is derived from ammonia, primary C<sub>1</sub>-C<sub>6</sub> alkyl amines, and secondary C<sub>1</sub>-C<sub>6</sub> dialkyl amines; wherein the alkyl groups are straight or branched chain.
60. **(Previously Added)** The pharmaceutically acceptable amide of a compound of formula I according to Claim 57, wherein said amide is derived from ammonia, primary C<sub>1</sub>-C<sub>3</sub> alkyl amines, and secondary C<sub>1</sub>-C<sub>2</sub> dialkyl amines; wherein the alkyl groups are straight or branched chain.
61. **(Cancelled).**